

DOCKET NO.: UPN-4808

PATENT

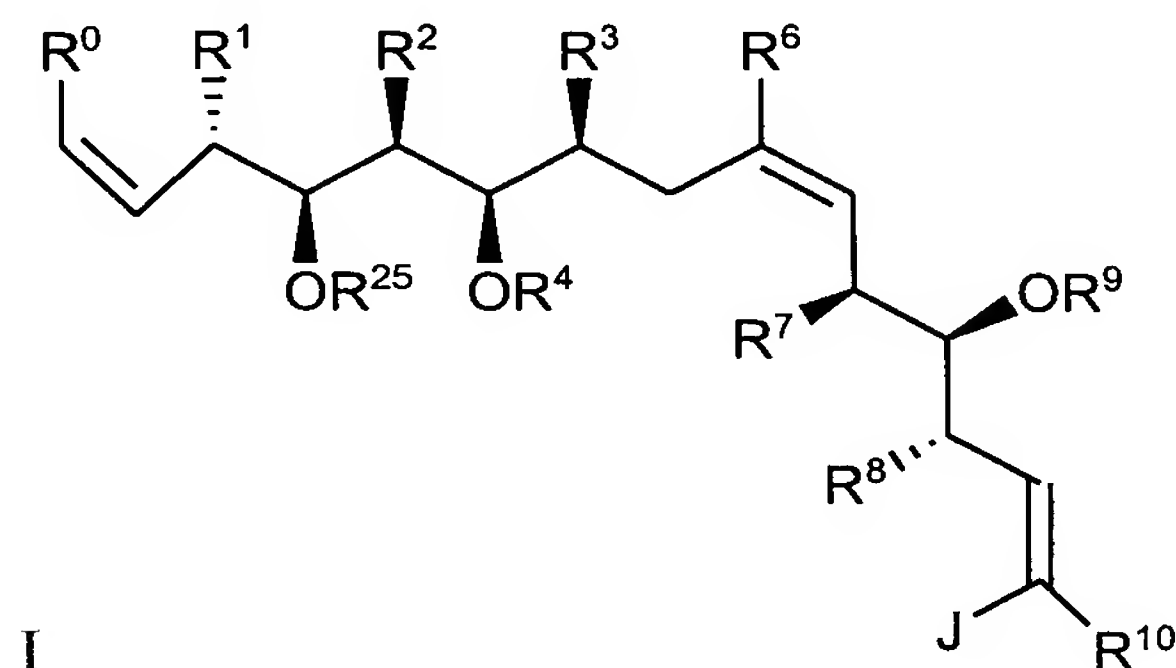
Application No.: not yet known

Preliminary Amendment - First Action Not Yet Received

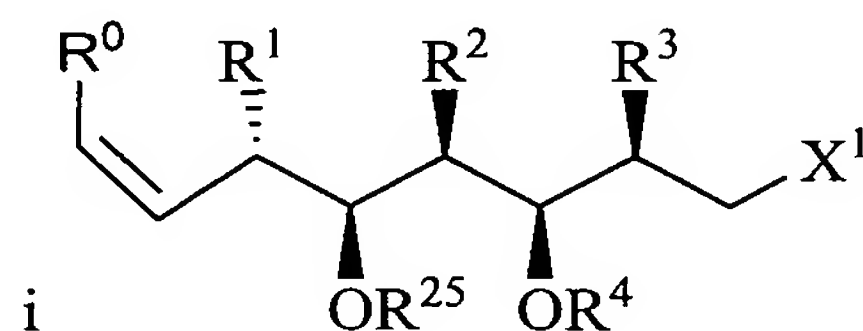
This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

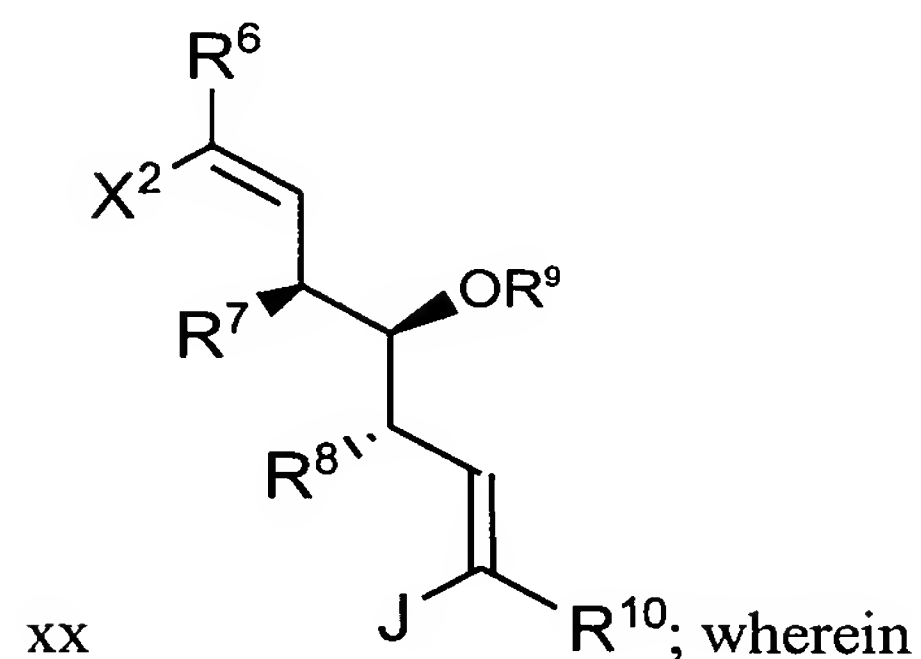
Claim 1 (original) A process for synthesizing a compound of formula I



comprising contacting a compound of formula i



with a compound of formula xx



R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl), $(CH_2)_r$ (aryl)

or $(CH_2)_r$ (heterocycle), wherein r is 0, 1, 2, 3, or 4;

R^1 , R^2 , R^3 , R^6 , R^7 , and R^8 are, independently, H or C_1 - C_{10} alkyl;

R^4 and R^9 are, independently, H or an acid labile hydroxyl protecting group;

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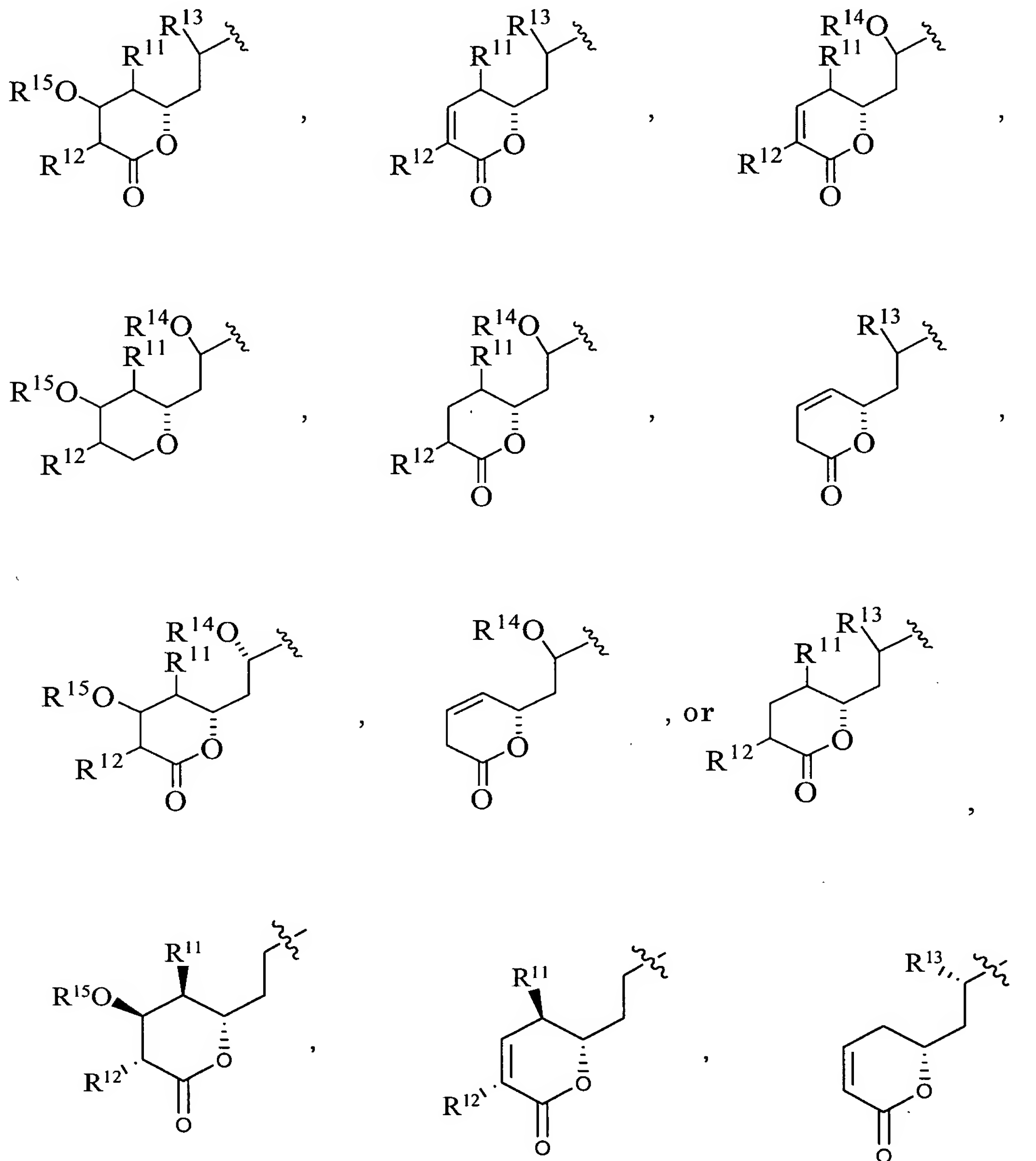
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R^{10} is hydrogen or C_1 - C_6 alkyl;

R^{25} is hydrogen or an oxidation labile hydroxyl protecting group;

X^1 and X^2 is, independently, a halogen, triflate, tosylate, or mesylate; and

J is



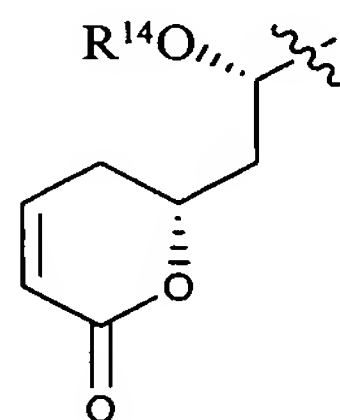
or

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; wherein

R^{11} , R^{12} and R^{13} are each independently H or C_1 - C_{10} alkyl; and

R^{14} and R^{15} are, independently, H or an acid labile hydroxyl protecting group.

Claim 2 (original) The process of claim 1, further comprising
subjecting the process to a catalytically effective amount of a cross-coupling metal catalyst.

Claim 3 (original) The process of claim 2, wherein the cross-coupling metal catalyst comprises nickel or palladium.

Claim 4 (original) The process of claim 2, wherein the cross-coupling metal catalyst is Pd(0).

Claim 5 (original) The process of claim 2, further comprising contacting the compound of formula i with a metallating agent, wherein the metallating agent is a compound containing boron, zinc, tin, magnesium, or aluminum, or a combination thereof.

Claim 6 (original) The process of claim 5, wherein the metallating agent is a compound containing boron.

Claim 7 (original) The process of claim 5, wherein the metallating agent is MeO-9-BBN.

Claim 8 (original) The process of claim 5, wherein the metallating agent is a compound containing zinc.

Claim 9 (original) The process of claim 5, wherein the metallating agent is $ZnCl_2$.

Claim 10 (original) The process of claim 1, wherein at least one of X¹ and X² are iodo.

Claim 11 (original) The process of claim 1, wherein R⁰ is ethylenyl.

Claim 12 (original) The process of claim 1, wherein R¹, R², R³, R⁶, R⁷, and R⁸ are, independently, H or C₁-C₃ alkyl.

Claim 13 (original) The process of claim 1, wherein R¹, R², R³, R⁶, R⁷, and R⁸ are CH₃.

Claim 14 (original) The process of claim 1, wherein R⁴ and R⁹, independently, are *tert*-butyldimethylsilyl, triethylsilyl, methoxymethyl, methylthiomethyl, 2-methoxyethoxymethyl, acetyl, benzyloxymethyl, 2-(trimethylsilyl)ethoxymethyl or allyl.

Claim 15 (original) The process of claim 1, wherein R⁴ is *tert*-butyldimethylsilyl.

Claim 16 (original) The process of claim 1, wherein R⁹ is methoxymethyl.

Claim 17 (original) The process of claim 1, wherein R¹⁰ is CH₃.

Claim 18 (original) The process of claim 1, wherein R¹¹, R¹² and R¹³ are CH₃.

Claim 19 (original) The process of claim 1, wherein R¹⁴ and R¹⁵ are, independently, *tert*-butyldimethylsilyl, triethylsilyl, methoxymethyl, methylthiomethyl, 2-methoxyethoxymethyl, acetyl, benzyloxymethyl, 2-(trimethylsilyl)ethoxymethyl or allyl.

Claim 20 (original) The process of claim 1, wherein R¹⁴ and R¹⁵ are, independently, *tert*-butyldimethylsilyl or methoxymethyl.

Claim 21(original) The process of claim 1, wherein R²⁵ is *para*-methoxybenzyl.

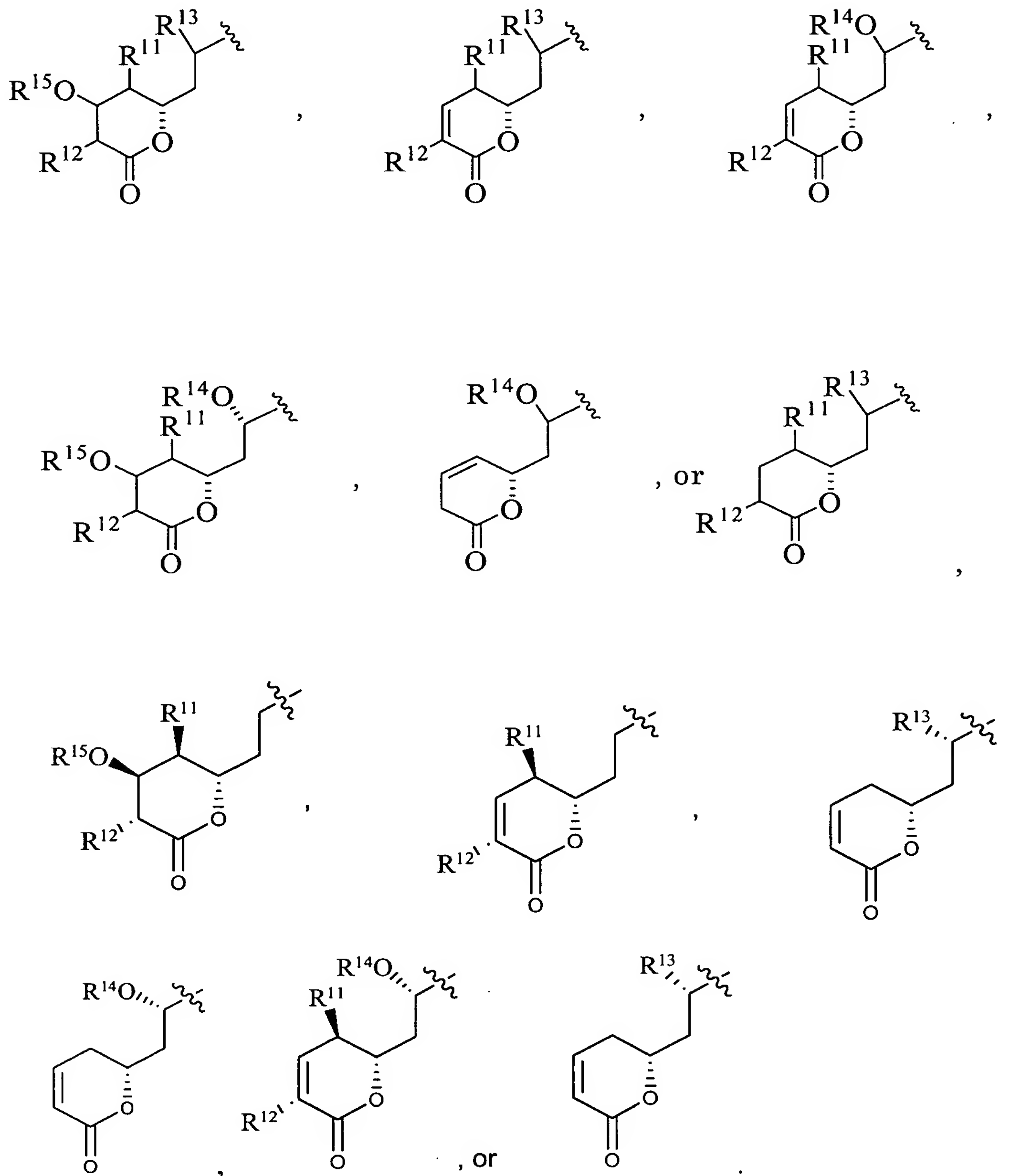
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Claim 22 (original) The process of claim 1, wherein J is



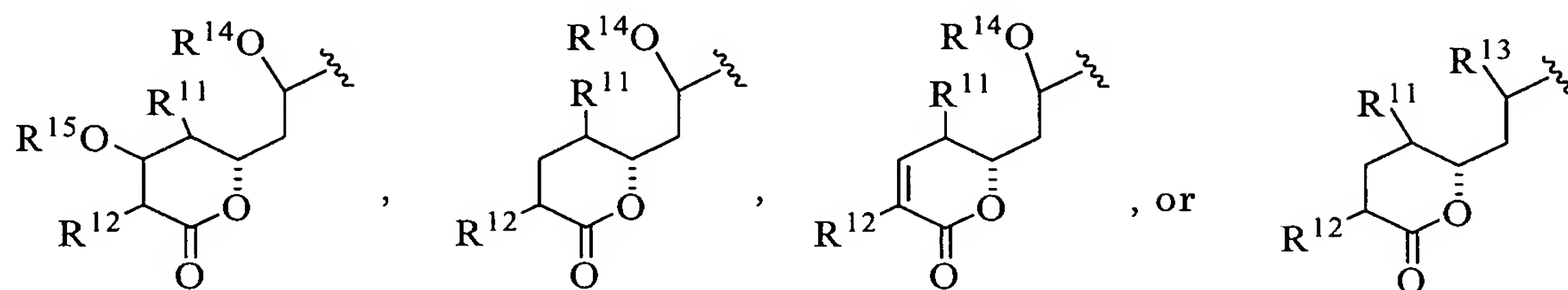
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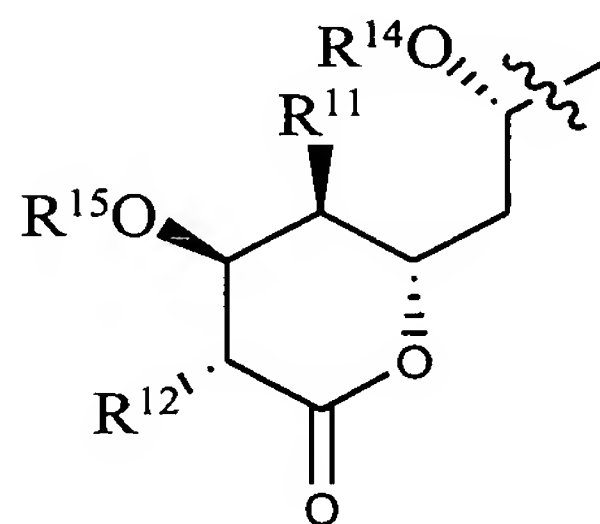
Application No.: not yet known

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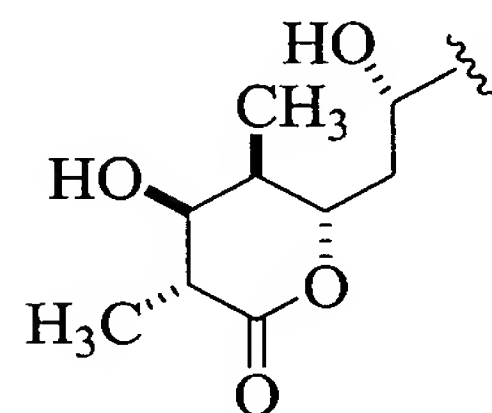
Claim 23 (original) The process of claim 1, wherein J is



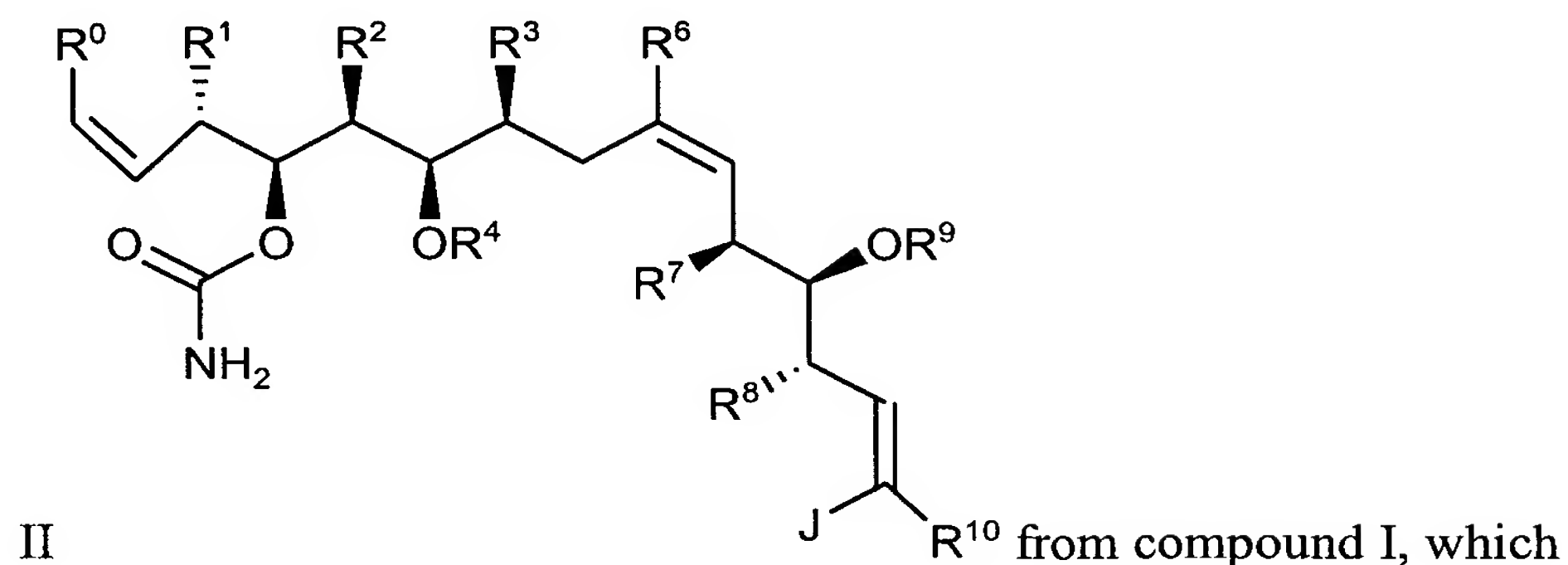
Claim 24 (original) The process of claim 1, wherein J is



Claim 25 (original) The process of claim 1, wherein J is



Claim 26 (original) The process of claim 1, further comprising a step of synthesizing a compound of formula II



comprises

contacting the compound of formula I with an oxidizing agent to form a

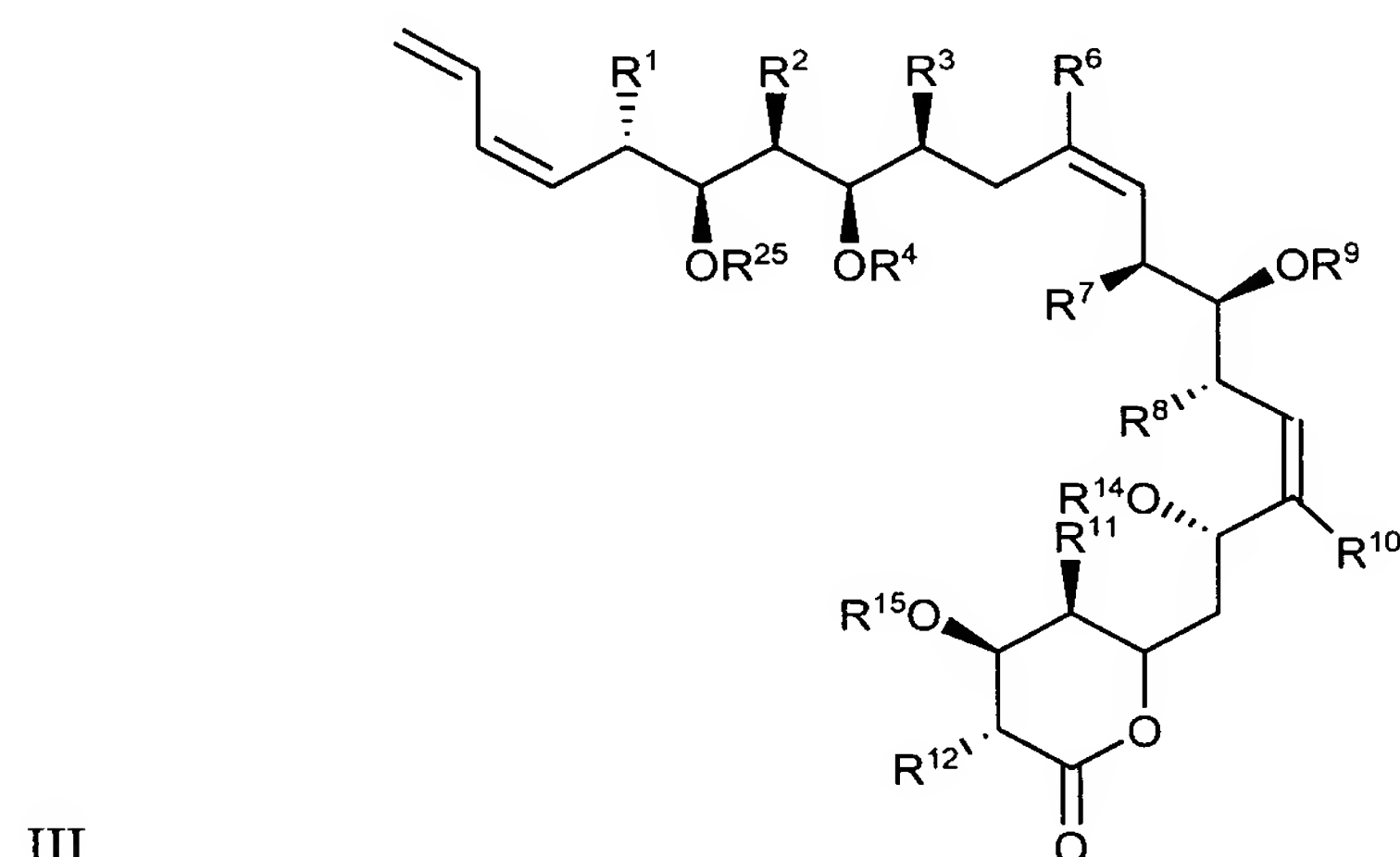
deprotected compound, and

contacting the deprotected compound with Cl_3CCONCO in the presence of a hydrolyzing agent.

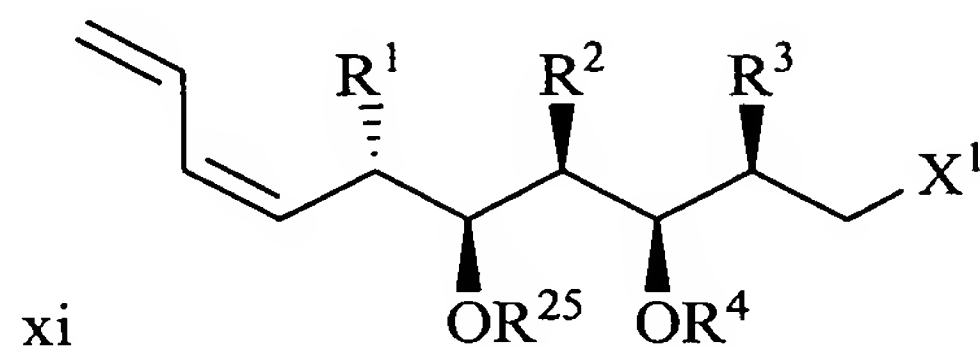
Claim 27 (original) The process of claim 26, wherein the oxidizing agent is 2,3-dichloro-5,6-dicyano-1,4-benzoquinone.

Claim 28 (original) The process of claim 26, wherein the hydrolyzing agent is Al_2O_3 .

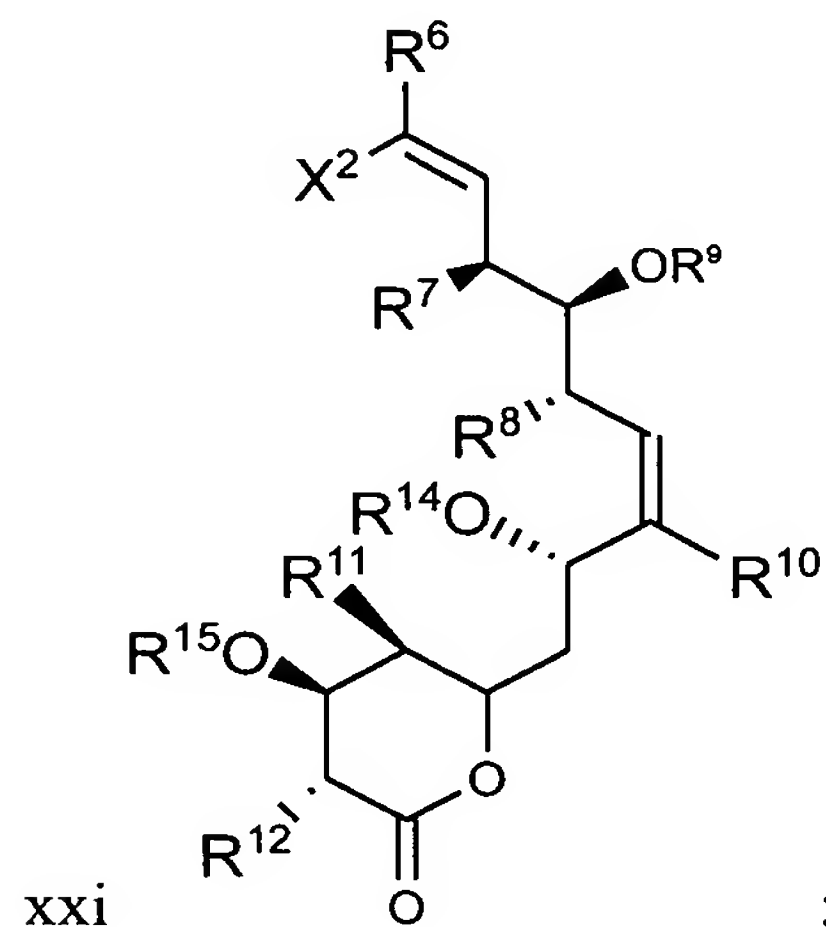
Claim 29 (original) A process for synthesizing a compound of formula III



comprising contacting a diene of formula xi



with a lactone of formula xxi



wherein R^1 , R^2 , R^3 , R^6 , R^7 , R^8 , R^{11} , and R^{12} are, independently, H or C_1 - C_{10} alkyl;

R^4 , R^9 , R^{14} , and R^{15} are, independently, an acid labile hydroxyl protecting group;

R^{10} is hydrogen or C_1 - C_6 alkyl;

R^{25} is hydrogen or an oxidation stable hydroxyl protecting group; and

X^1 and X^2 are, independently, a halogen, triflate, tosylate, or mesylate.

Claim 30 (original) The process of claim 29, further comprising
 subjecting the process to the presence of a catalytically effective amount of a cross-coupling metal catalyst.

Claim 31 (original) The process of claim 29, wherein the cross-coupling metal catalyst comprises nickel or palladium.

Claim 32 (original) The process of claim 29, wherein the cross-coupling metal catalyst is Pd(0).

Claim 33 (original) The process of claim 29, further comprising contacting the compound of formula xi with a metallating agent, wherein the metallating agent is a compound containing boron, zinc, tin or magnesium or aluminum.

Claim 34 (original) The process of claim 33, wherein the metallating agent is a compound containing boron.

Claim 35 (original) The process of claim 33, wherein the metallating agent is MeO-9-BBN.

Claim 36 (currently amended) The process of claim [36] 33, wherein the metallating agent is a compound containing zinc.

Claim 37 (original) The process of claim 33, wherein the metallating agent is ZnCl_2 .

Claim 38 (original) The process of claim 29, wherein at least one of X^1 and X^2 are iodine.

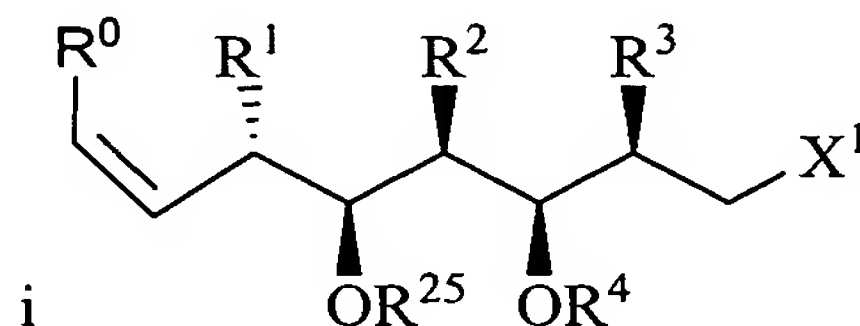
Claim 39 (original) The process of claim 29, wherein R^1 , R^2 , R^3 , R^6 , R^7 , R^8 , R^{11} , and R^{12} are methyl.

Claim 40 (original) The process of claim 29, wherein R^4 , R^9 , R^{14} , and R^{15} are, independently, *tert*-butyldimethylsilyl or methoxymethyl.

Claim 41 (original) The process of claim 29, wherein R^{10} is hydrogen.

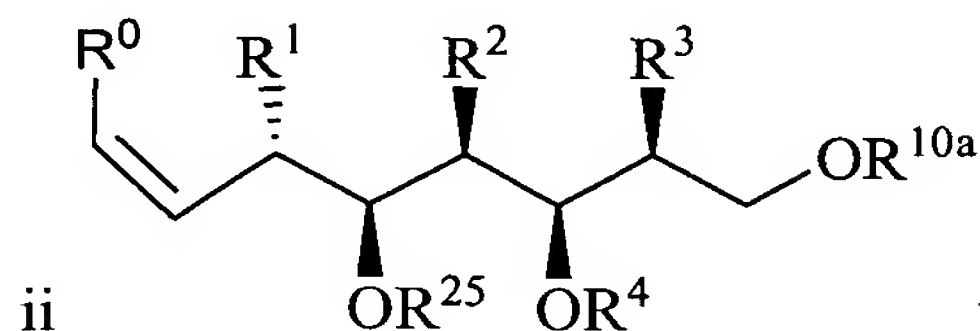
Claim 42 (original) The process of claim 29, wherein R^{25} is *para*-methoxy benzyl.

Claim 43 (original) A process for synthesizing a halogenated alkylene of formula i



comprising:

contacting an alkenyl of formula ii



with a mild acid; and

adding to the process $(X^1)_2$ in the presence of $P(R^{18})_3$; wherein:

R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl), $(CH_2)_r$ (aryl)

or $(CH_2)_r$ (heterocycle), wherein r is 0, 1, 2, 3, or 4;

R^1 , R^2 , and R^3 are, independently, H or C_1 - C_{10} alkyl;

R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group;

R^{18} is C_6 - C_{14} aryl;

R^{25} is hydrogen or an oxidatively labile hydroxyl protecting group; and

X^1 is a halogen, triflate, tosylate, or mesylate.

Claim 44 (original) The process of claim 43 wherein R^0 is ethylene.

Claim 45 (original) The process of claim 43 wherein R^1 , R^2 and R^3 are each methyl.

Claim 46 (original) The process of claim 43 wherein R^4 is *para*-methoxybenzyl.

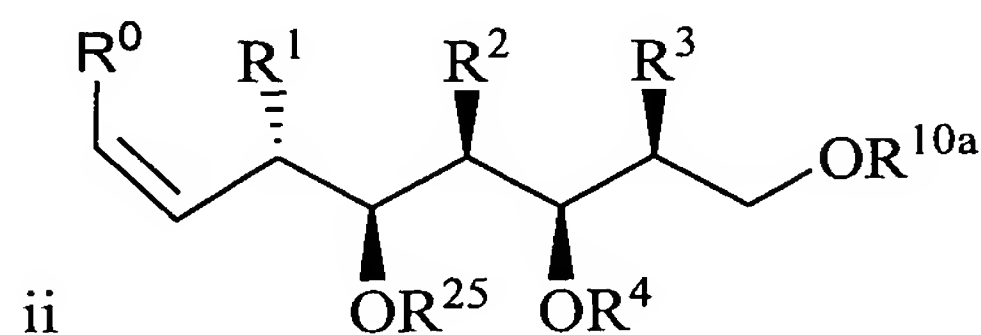
Claim 47 (original) The process of claim 43 wherein R^{18} is phenyl.

Claim 48 (original) The process of claim 43 wherein R^{25} is *tert*-butyldimethylsilyl.

Claim 49 (original) The process of claim 43 wherein X^1 is iodo.

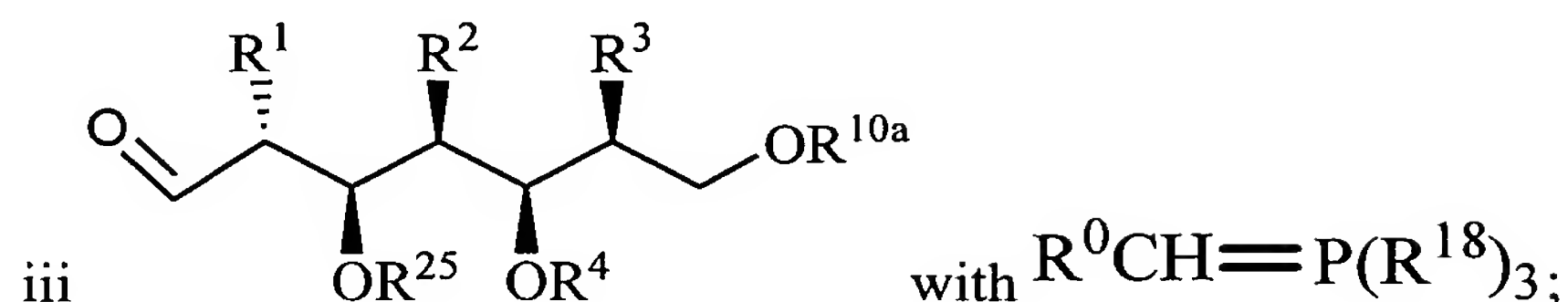
Claim 50 (original) The process of claim 43, wherein R^{10a} is trityl.

Claim 51 (original) A process of synthesizing a compound of formula ii



comprising:

contacting an aldehyde of formula iii



wherein

R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl), $(CH_2)_r$ (aryl)

or $(CH_2)_r$ (heterocycle), wherein r is 0, 1, 2, 3, or 4;

R^1 , R^2 , and R^3 are, independently, H or C_1 - C_{10} alkyl;

R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group;

R^{18} is C_6 - C_{14} aryl; and

R^{25} is hydrogen or an oxidatively labile hydroxyl protecting group.

Claim 52 (original) The process of claim 51 wherein R^0 is ethylene.

Claim 53 (original) The process of claim 51 wherein R^1 , R^2 and R^3 are each methyl.

Claim 54 (original) The process of claim 51 wherein R^4 is *para*-methoxybenzyl.

Claim 55 (original) The process of claim 51 wherein R^{18} is phenyl.

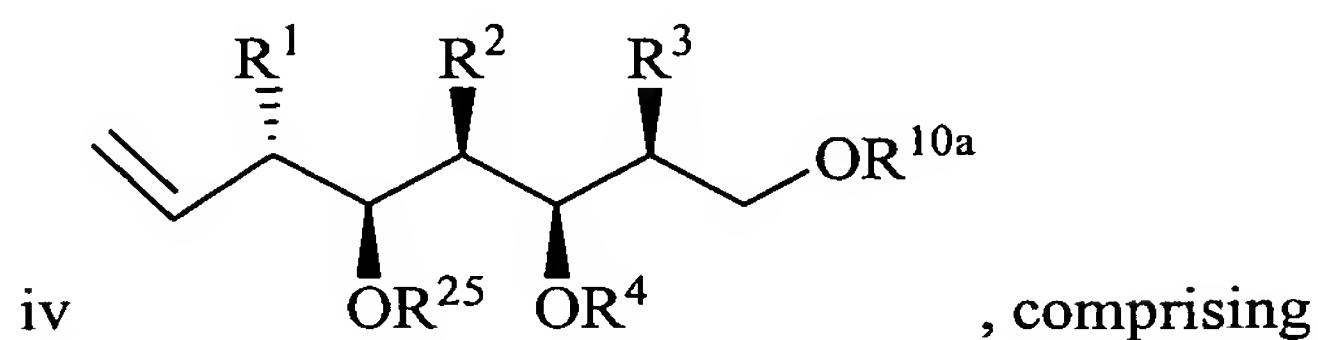
Claim 56 (original) The process of claim 51 wherein R^{25} is *tert*-butyldimethylsilyl.

Claim 57 (original) The process of claim 51, wherein R^{10a} is trityl.

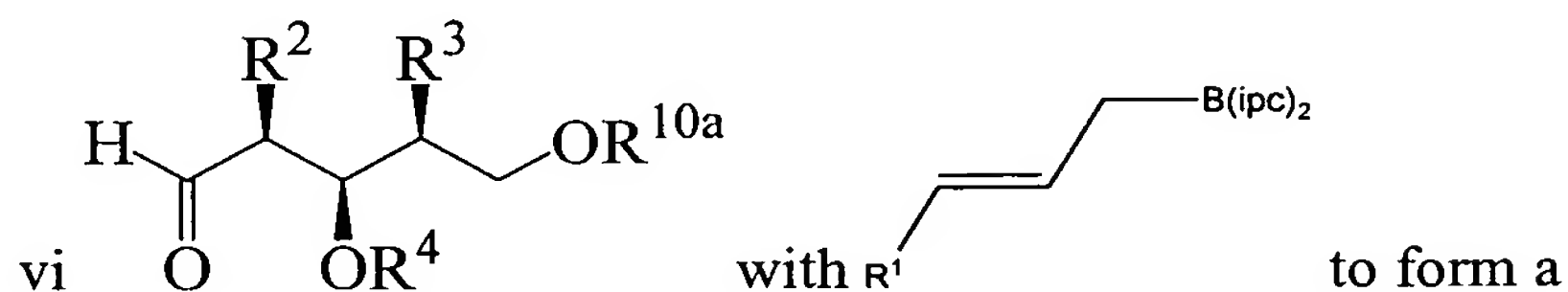
Claim 58 (original) The process of claim 52, wherein the compound of formula iii is

contacted with allyldiphenylphosphine instead of $R^0CH=P(R^{18})_3$.

Claim 59 (original) A process of synthesizing a compound of formula iv

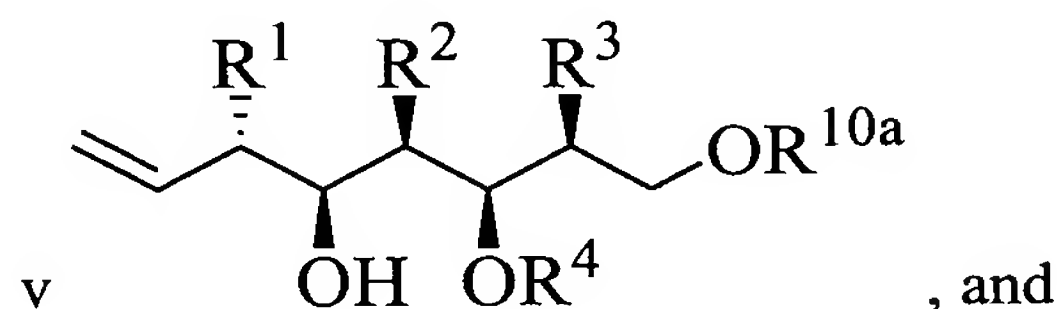


contacting a compound of formula vi



compound of

formula v



reacting a compound of formula v with ; wherein

R^1 , R^2 , and R^3 are, independently, H or C_1 - C_{10} alkyl;

R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group; and

R^{25} is hydrogen or an oxidatively labile hydroxyl protecting group.

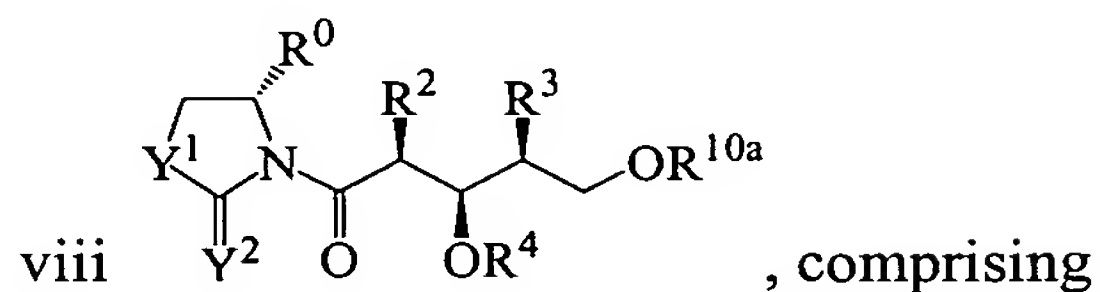
Claim 60 (original) The process of claim 59 wherein R^1 , R^2 and R^3 are each methyl.

Claim 61 (original) The process of claim 59 wherein R^4 is *para*-methoxybenzyl.

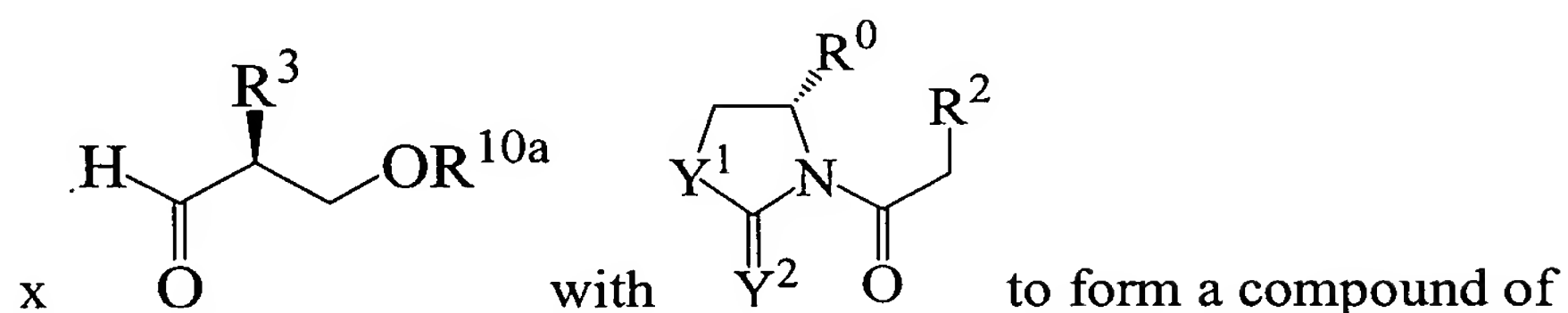
Claim 62 (original) The process of claim 59 wherein R^{25} is *tert*-butyldimethylsilyl.

Claim 63 (original) The process of claim 59, wherein R^{10a} is trityl.

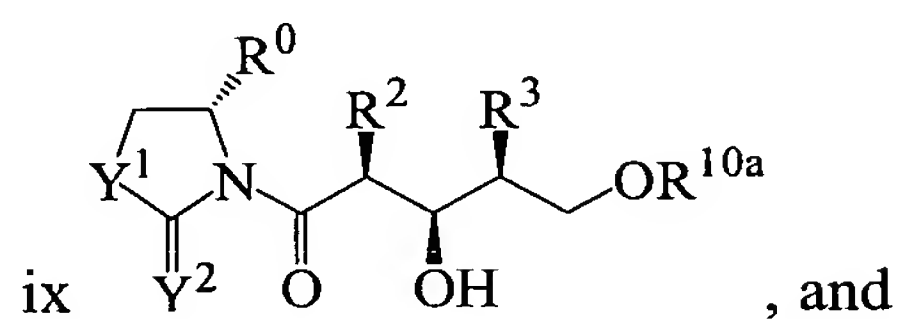
Claim 64 (original) A process of forming a compound of formula viii



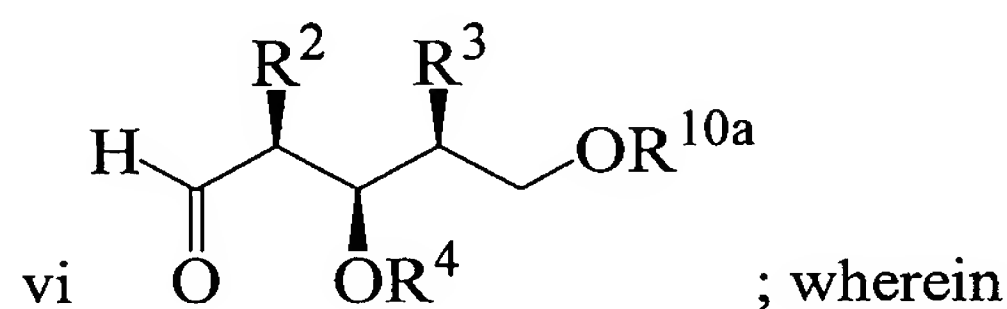
contacting a compound of formula x



formula



converting the compound of formula ix to a compound of formula vi



R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl),

$(CH_2)_r(aryl)$ or $(CH_2)_r(heterocycle)$, wherein r is 0, 1, 2, 3, or 4;

R^2 and R^3 are, independently, H or C_1 - C_{10} alkyl;

R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group; and

Y^1 and Y^2 are, independently, O or S.

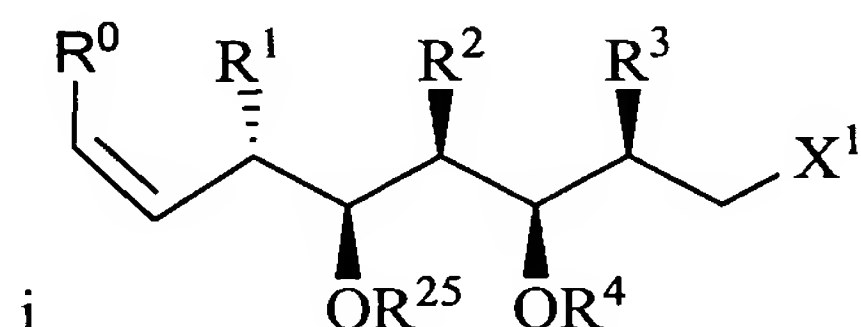
Claim 65 (original) The process of claim 64 wherein R^0 is benzyl.

Claim 66 (original) The process of claim 64 wherein R^2 and R^3 are each methyl.

Claim 67 (original) The process of claim 64 wherein R^4 is *para*-methoxybenzyl.

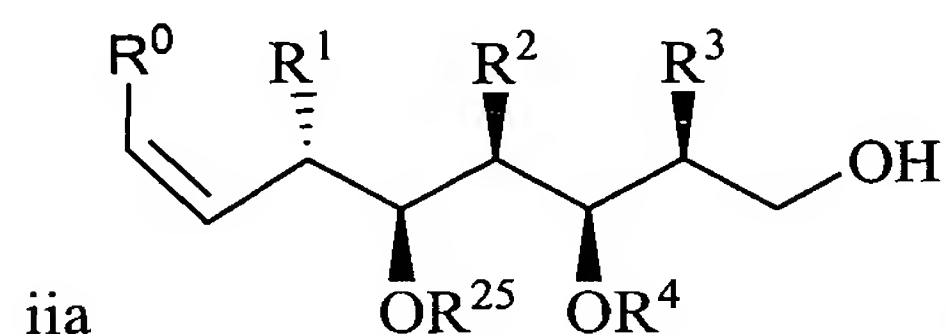
Claim 68 (original) The process of claim 64 wherein R^{10a} is trityl.

Claim 69 (original) A process for synthesizing a halogenated alkylene of formula i



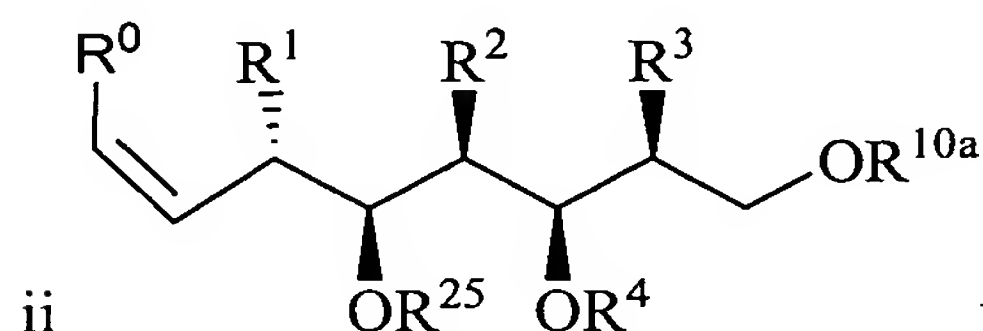
comprising,

contacting an alcohol of formula iia



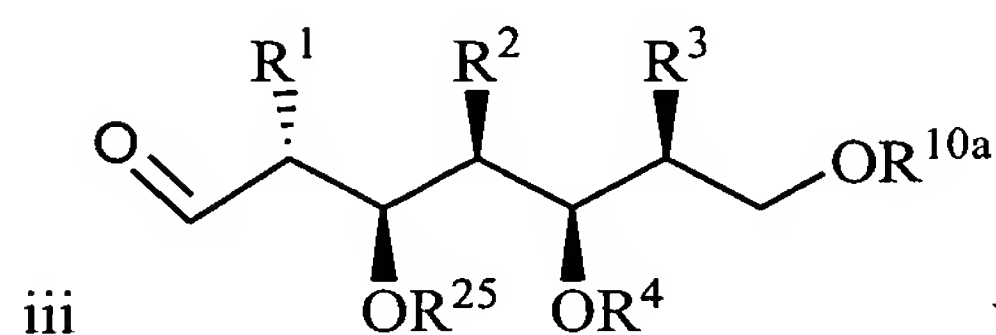
with $(X^1)_2$ in the presence of $P(R^{18})_3$;

yielding the compound of formula iia by contacting an alkylene of formula ii



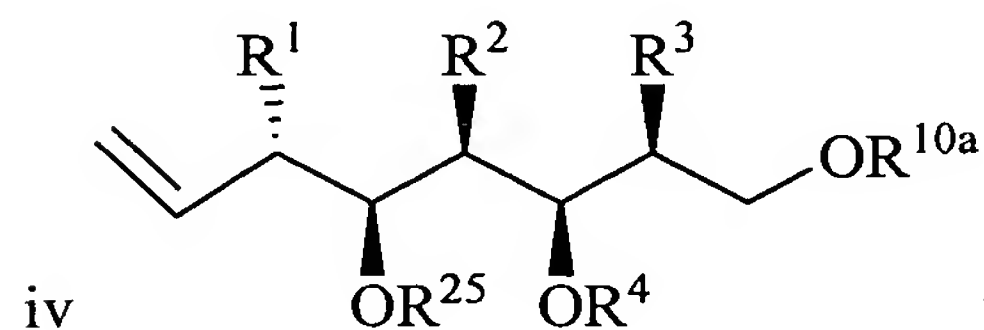
with a mild acid;

forming the compound of formula ii by contacting an aldehyde of formula iii



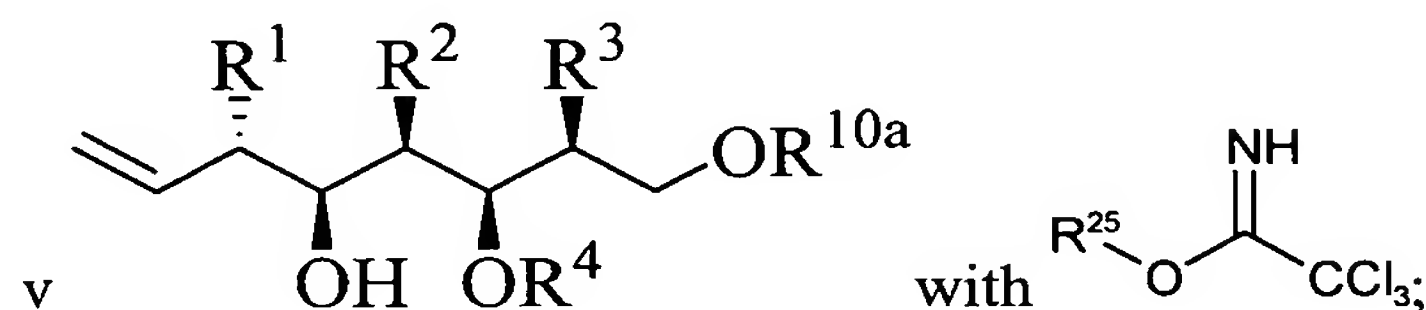
with $R^0CH_2-P(R^{18})_3X^1$;

producing the compound of formula iii by subjecting a compound of formula iv

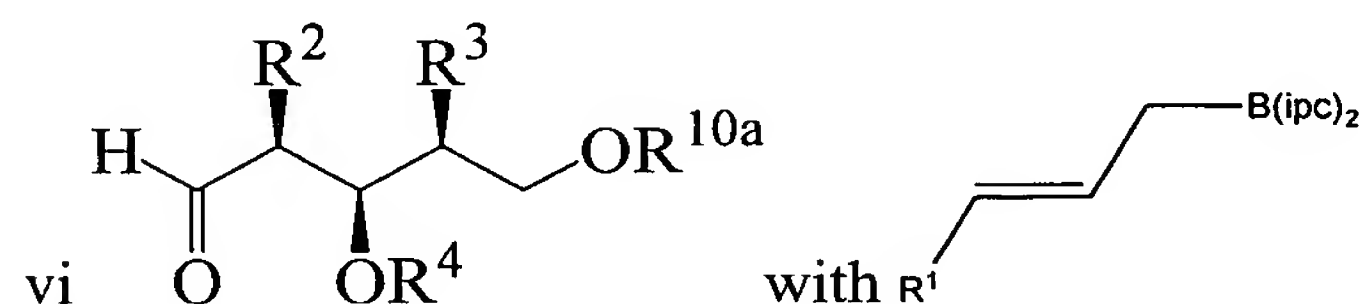


to ozonolysis.;

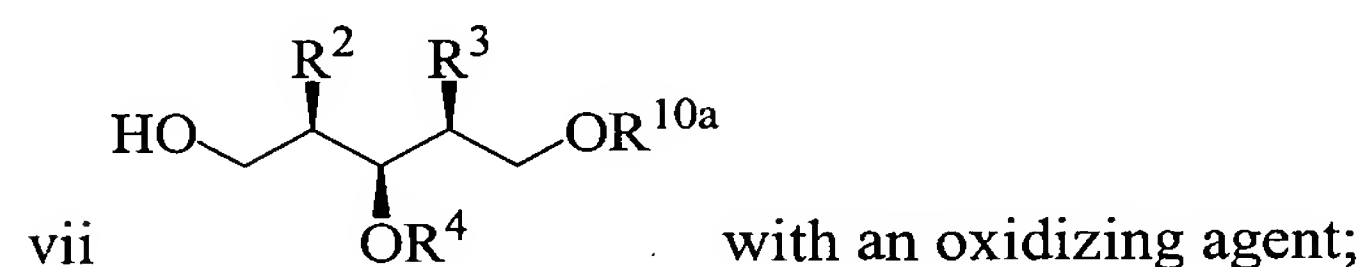
resulting in the compound of formula iv by contacting a compound of formula v



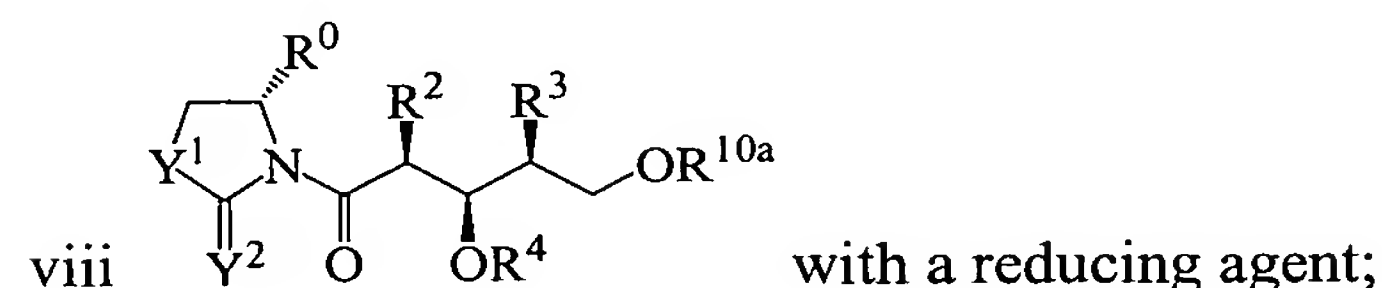
synthesizing the compound of formula v by contacting a compound of formula vi



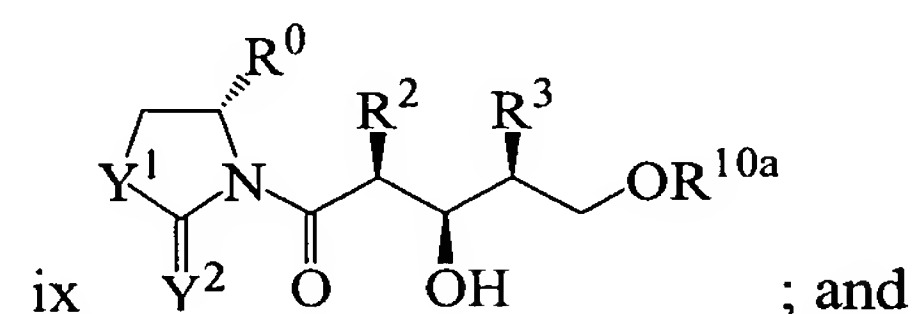
producing the compound of formula vi by contacting a compound of formula vii



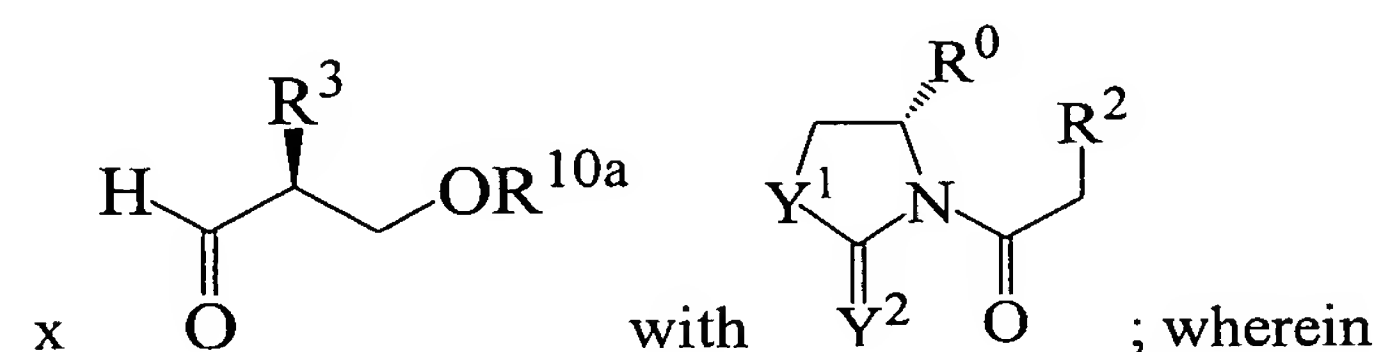
forming the compound of formula vii by contacting a compound of formula viii



synthesizing the compounds of formula viii and by protecting a hydroxyl moiety of a compound of formula ix



yielding the compounds of formula ix and ix' by contacting a compound of formula x



R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl), $(CH_2)_r$ (aryl) or $(CH_2)_r$ (heterocycle), wherein r is 0, 1, 2, 3, or 4;

R^1 , R^2 , and R^3 are, independently, H or C_1 - C_{10} alkyl;

R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group;

R^{18} is C_6 - C_{14} aryl;

R^{25} is hydrogen or an oxidatively labile hydroxyl protecting group;

X^1 is a halogen, triflate, tosylate, or mesylate; and

Y^1 and Y^2 are, independently, S or O.

Claim 70 (original) The process of claim 69 wherein R^0 is benzyl.

Claim 71 (original) The process of claim 69 wherein R^1 , R^2 and R^3 are each methyl.

Claim 72 (original) The process of claim 69 wherein R^4 is *para*-methoxybenzyl.

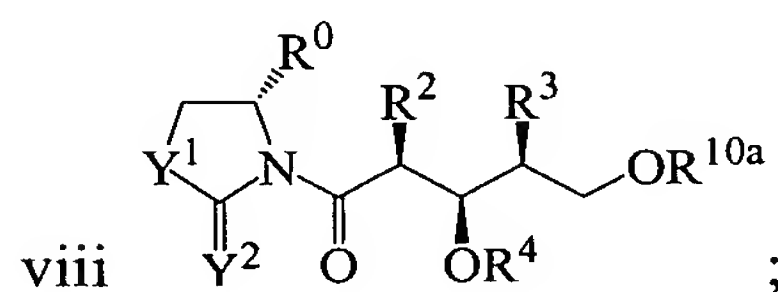
Claim 73 (original) The process of claim 69 wherein R^{18} is phenyl.

Claim 74 (original) The process of claim 69 wherein R^{25} is *tert*-butyldimethylsilyl.

Claim 75 (original) The process of claim 69 wherein X^1 is iodo.

Claim 76 (original) The process of claim 69, wherein R^{10a} is trityl.

Claim 77 (original) A compound of formula viii



wherein

R^0 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, $(CH_2)_r(C_{3-6}$ cycloalkyl), $(CH_2)_r$ (aryl) or $(CH_2)_r$ (heterocycle), wherein r is 0, 1, 2, 3, or 4;

R^2 and R^3 are, independently, H or C_1 - C_{10} alkyl;

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R^4 is H or an acid labile hydroxyl protecting group;

R^{10a} is a hydroxyl protecting group; and

Y^1 and Y^2 are, independently, S or O.

Claim 78 (original) The compound of claim 77 wherein R^0 is benzyl.

Claim 79 (original) The compound of claim 77 wherein R^2 and R^3 are each methyl.

Claim 80 (original) The compound of claim 77 wherein R^4 is *para*-methoxybenzyl.

Claim 81 (original) The compound of claim 77 wherein R^{10a} is trityl.

Claim 82 (original) The compound of claim 77 wherein at least one of Y^1 and Y^2 is S.

Claim 83 (original) The compound of claim 77 wherein at least one of Y^1 and Y^2 is O.